We Claim:

1. A compound of formula I or a pharmaceutically acceptable salt or a trifluoroacetate of a compound of formula I

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where:

R1, R2, R3 and R4

are each independently selected from the group consisting of H, F, Cl, Br, I, CN, NO<sub>2</sub>, OH, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, cycloalkyl having 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, O<sub>k</sub>-(CH<sub>2</sub>)<sub>I</sub>-phenyl, heteroaryl having 0, 1, 2, 3 or 4 nitrogen atoms and 0 or 1 oxygen atom and 0 or 1 sulfur atom, O<sub>h</sub>-SO<sub>i</sub>-

R10, NR14R15, CONR16R17, COOR18 and OCOR18, where

k is 0 or 1;

I is 0, 1, 2, 3 or 4;

h is 0 or 1;

j is 0, 1 or 2;

R10 is selected from the group consisting of alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, OH, O-alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, and NR11R12, where

R11 and R12

are each independently selected from the group consisting of hydrogen, alkyl having 1, 2, 3, 4, 5, 6, 7

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or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further having one or more CH<sub>2</sub> groups which may be replaced by O, NR13, CO, CS, where R13 is H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

# Or, R11 and R12

are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring;

10 R14 and R15

are each independently selected from the group consisting of H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further having one or more CH<sub>2</sub> groups which may be replaced by O, CO, CS or NR19,

or

R14 and R15

are, together with the nitrogen atom which bonds them, pare of a 5- or 6-membered ring;

20 R16 and R17

are each independently H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further having one or more CH<sub>2</sub> groups which may be replaced by O, CO, CS or NR19,

or, R16 and R17

are, together with the nitrogen atom which bonds them, part of a 5or 6-membered ring;

- R18 is H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;
- R19 is H or alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;
- R5 is selected from the group consisting of H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated,

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cycloalkyl having 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, COR20 and SO<sub>2</sub>R20; where

is H or alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R6 is selected from the group consisting of H, OH, F, Cl, Br, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, cycloalkyl having 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, and O-acyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

# R7, R8 and R9

are each independently selected from the group consisting of H, F, Cl, Br, I, OH, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, cycloalkyl having 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, O<sub>V</sub>-SO<sub>W</sub>-R47, COR47, COOR60, NR51R52 and a –L-G group; where

is 0 or 1; ٧

> is 2 or 3; W

R47 is selected from the group consisting of H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, and NR48R49; where

R48 and R49

are each independently H or alkyl which has 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further has one or more CH2 groups which may be replaced by O, CO, CS or NR50, where

R50 is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated;

Or, R48 and R49

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are, together with the nitrogen atom which bonds them, part of a 5, 6, 7 or 8-membered ring;

R60 is H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

### R51 and R52

are each independently selected from the group consisting H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, and acyl which has 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further has one or more CH<sub>2</sub> groups which may be replaced by O or NR53, where R53 is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms with

none, some or all of the carbon atoms being fluorinated;

## or R51 and R52

are, together with the nitrogen atom which bonds them, part of a 5, 6, 7 or 8-membered ring;

L is selected from the group consisting of -CH<sub>2</sub>-, -O-, -NR30-, -OCO-, -NR30CO-, -NR30CS-, -NR30SO<sub>2</sub>-, -CONR30-, -COO-, -CSNR30-, -SO<sub>2</sub>NR30-, -NR30CONR31-, -NR30COO-, -NR30CSNR31- and -NR30SO<sub>2</sub>NR31-; where

### R30 and R31

are each independently H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, or cycloalkyl having 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

is a C<sub>a</sub>(OR32)<sub>x</sub>H<sub>2a+1-x</sub> group which has one or more CH<sub>2</sub> groups that may be replaced by O or NR33, a C<sub>b</sub>(OR32)<sub>y</sub>H<sub>2b-1-y</sub> group which has one or more CH<sub>2</sub> groups that may be replaced by O or NR33, a C<sub>c</sub>H<sub>2c+1</sub> group which has two or more CH<sub>2</sub> groups that may be replaced by O or NR33, or a -(CH<sub>2</sub>)<sub>z</sub>-COOR34 group, a -(CH<sub>2</sub>)<sub>z</sub>-SO<sub>3</sub>R34 group, a -(CH<sub>2</sub>)<sub>z</sub>-N<sup>+</sup>R35R36R37 group where one or more hydrogen atoms of the -

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(CH<sub>2</sub>)<sub>Z</sub> units may be replaced by OR32, -CR38R39-COOR40 or -CR38R39NR41R42, where

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a is 2, 3, 4, 5, 6, 7 or 8;
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x is 2, 3, 4, 5, 6, 7 or 8;

R32 is H, alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated, or acyl having 1, 2, 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R33 is H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated;

b is 3, 4, 5, 6 or 7;

y is 2, 3, 4, 5, 6 or 7;

c is 3, 4, 5, 6, 7 or 8;

z is 0, 1, 2, 3 or 4;

R34, R35, R36 and R37

are each independently H or alkyl having 1, 2, 3, 4, 5 or 6 carbon atoms of with none, some or all of the carbon atoms being fluorinated;

R38 is  $-(CH_2)_n - Y$ ; where

n is 0, 1, 2, 3 or 4;

is H, alkyl which has 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated and further has one or more CH<sub>2</sub> groups that may be replaced by O, S or NR43, or Y is -COOR44, -CONR45R46, -NHC(NH)NH<sub>2</sub>, phenyl or heteroaryl, said phenyl and heteroaryl radicals being capable of being substituted by up to three substituents selected from the group consisting of CH<sub>3</sub>, CF<sub>3</sub>, OH, OCH<sub>3</sub> and NH<sub>2</sub>;

R43, R44, R45 and R46

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are each independently H or alkyl having 1, 2,
3, 4, 5 or 6 carbon atoms with none, some or
all of the carbon atoms being fluorinated;

R39 is H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R40 is H or alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

## R41 and R42

are each independently H, alkyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated, or acyl having 1, 2, 3, 4, 5, 6, 7 or 8 carbon atoms with none, some or all of the carbon atoms being fluorinated;

provided that at least one of the R7, R8 or R9 radicals in formula I is a -L-G group.

2. A compound of claim 1, or a pharmaceutically acceptable salt or trifluoroacetate of said compound, wherein:

R1, R2, R3 and R4,

are each independently selected from the group consisting of H, F, Cl, Br, I, CN, NO<sub>2</sub>, OH, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, cycloalkyl having 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-phenyl, SO<sub>2</sub>R10, NR14R15, CONR16R17, COOR18 and OCOR18; where

R10 is selected from the group consisting of alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, OH and NR11R12; where

### R11 and R12

are each independently selected from the group consisting of hydrogen, alkyl having 1, 2, 3 or 4 carbon atoms with

none, some or all of the carbon atoms being fluorinated, and acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated,

#### or R11 and R12

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are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

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# R14 and R15

are each independently selected from the group consisting of H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, and acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated,

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## or R14 and R15

are, together with the nitrogen atom which bonds them, part of a 5or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-Nmethylpiperazinyl and 4-morpholinyl;

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# R16 and R17

are each independently H or alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated,

### or R16 and R17

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are together with the nitrogen atom which bonds them, part of a 5or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-Nmethylpiperazinyl and 4-morpholinyl;

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R18 is H or alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R5

is H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or cycloalkyl having 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R6

is selected from the group consisiting of H, OH, F, CI, Br, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being

fluorinated, cycloalkyl having 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, and O-acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

## R7, R8 and R9

are each independently selected from the group consisting of H, F, CI, Br, I, OH, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, cycloalkyl having 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated, O-alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, O<sub>V</sub>-SO<sub>W</sub>-R47, COR47, COOR60,

NR51R52 and a -L-G group; where

v is 0 or 1;

w is 2 or 3;

R47 is H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or NR48R49; where R48 and R49

are each independently H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated,

## or R48 and R49

are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

R60 is H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

### R51 and R52

are each independently H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated,

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## or R51 and R52

are, together with the nitrogen atom which bonds them, part of a 5-or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

L is -CH<sub>2</sub>-, -O-, -NR30-, -OCO-, -NR30CO-, -NR30CS-, -NR30SO<sub>2</sub>-, -CONR30-, -COO-, -CSNR30-, -SO<sub>2</sub>NR30-, -NR30CONR31-, -NR30COO-, -NR30CSNR31- or -NR30SO<sub>2</sub>NR31-; where R30 and R31

are each independently H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or cycloalkyl having 3, 4, 5 or 6 carbon atoms with none, some or all of the carbon atoms being fluorinated;

is a  $C_a(OR32)_xH_{2a+1-x}$  group which has one or more  $CH_2$  groups that may be replaced by O or NR33, a  $C_b(OR32)_yH_{2b-1-y}$  group which has one or more  $CH_2$  groups that may be replaced by O or NR33, a  $C_cH_{2c+1}$  group which has two or more  $CH_2$  groups being replaced by O or NR33, a - $(CH_2)_z$ -COOR34 group,

a - $(CH_2)_Z$  -SO<sub>3</sub>R34 group, a - $(CH_2)_Z$  -N<sup>+</sup>R35R36R37 group which has one or more hydrogen atoms of the - $(CH_2)_Z$  units that may be replaced by OR32 groups, a -CR38R39-COOR40 group, or a -CR38R39NR41R42 group; where

a is 2, 3, 4, 5, 6, 7 or 8;

x is 2, 3, 4, 5, 6, 7 or 8;

R32 is H, alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated, or acyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

R33 is H or alkyl having 1, 2, 3 or 4 carbon atoms with none, some or all of the carbon atoms being fluorinated;

b is 3, 4, 5, 6 or 7;

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	у	is 2, 3, 4, 5, 6 or 7;
	С	is 3, 4, 5, 6, 7 or 8;
	Z	is 0, 1, 2, 3 or 4;
	R34, F	R35, R36 and R37
5		are each independently H or alkyl having 1, 2, 3 or 4
		carbon atoms with none, some or all of the carbon
		atoms being fluorinated;
	R38	is -(CH <sub>2</sub> ) <sub>n</sub> -Y; where
	n	is 0, 1, 2, 3 or 4;
10	Υ	is H or alkyl which has 1, 2, 3 or 4 carbon atoms with
		none, some or all of the carbon atoms being
		fluorinated and further has one or more CH <sub>2</sub> groups
		that may be replaced by O, S or NR43, or Y is
		COOR44, CONR45R46, NHC(NH)NH <sub>2</sub> , phenyl or
15		heteroaryl, whre the phenyl or heteroaryl radicals
		may be substituted by up to three substituents
;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;		selected from the group consisting of CH <sub>3</sub> , CF <sub>3</sub> , OH,
		OCH <sub>3</sub> and NH <sub>2</sub> ;
,		R43, R44, R45 and R46
20		are each independently H or alkyl having 1, 2,
		3 or 4 carbon atoms with none, some or all of
		the carbon atoms being fluorinated;
	R39	is H or alkyl having 1, 2, 3 or 4 carbon atoms with
		none, some or all of the carbon atoms being
25		fluorinated;
	R40	is H or alkyl having 1, 2, 3 or 4 carbon atoms with
		none, some or all of the carbon atoms being
		fluorinated;
	R41 a	nd R42
30		are each independently H, alkyl having 1, 2, 3 or 4
		carbon atoms with none, some or all of the carbon
		atoms being fluorinated, or acyl having 1, 2, 3 or 4
		carbon atoms with none, some or all of the carbon
		atoms being fluorinated;

provided that at least one of the R7, R8 or R9 is a –L-G group.

- 3. A compound of claim 2, or a pharmaceutically acceptable salt or trifluoroacetates salt of said compound, wherein
- 5 R1, R2, R3 and R4,

are each independently selected from the group consisting of H, F, Cl, Br, CN, NO<sub>2</sub>, OH, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>CF<sub>3</sub>, SO<sub>2</sub>R10, NR14R15, CONR16R17, COOR18 and OCOR18, where

R10 is CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OH, or NR11R12, where

R11 and R12

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCH<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>,

or R11 and R12

are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring which is of a type selected from the group consisting 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

R14 and R15

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCH<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>,

or R14 and R15

are, together with the nitrogen atom which bonds them, part of a 5-or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

R16 and R17

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>,

or R16 and R17

are, together with the nitrogen atom which bonds them, part of a 5or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-Nmethylpiperazinyl and 4-morpholinyl;

R18 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

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R5 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R6 is H, OH, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub>, OCOCH<sub>3</sub>, OCOCH<sub>2</sub>CH<sub>3</sub>, OCOCH<sub>2</sub>CH<sub>3</sub>, OCOCH<sub>2</sub>CF<sub>3</sub>;

## R7, R8 and R9

are each independently H, F, Cl, Br, I, OH, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub>, OCH<sub>2</sub>CF<sub>3</sub>, SO<sub>2</sub>R47, SO<sub>3</sub>R60, COR47, COOR60, NR51R52 or a –L-G group; where

R47 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub> or NR48R49; where R48 and R49

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCH<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>,

### or R48 and R49

are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

R60 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, or CH<sub>2</sub>CF<sub>3</sub>,

# R51 and R52

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>,

# or R51 and R52

are, together with the nitrogen atom which bonds them, part of a 5-or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

L is -CH<sub>2</sub>-, -O-, -NR30-, -OCO-, -NR30CO-, -NR30CS-, -NR30SO<sub>2</sub>-, -CONR30-, -COO-, -CSNR30-, -SO<sub>2</sub>NR30-, -NR30CONR31-, -NR30COO-, -NR30CSNR31- or -NR30SO<sub>2</sub>NR31-; where R30 and R31

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

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**DEAV 2003/0025 US NP** 94 G is a C<sub>a</sub>(OR32)<sub>x</sub>H<sub>2a+1-x</sub> group which has one or more CH<sub>2</sub> groups that may be replaced by O or NR33, a C<sub>b</sub>(OR32)<sub>V</sub>H<sub>2b-1-V</sub> group which has one or more CH2 groups that may be replaced by O or NR33, a C<sub>C</sub>H<sub>2C+1</sub> group which has two or more CH<sub>2</sub> groups that 5 are replaced by O or NR33, a -(CH<sub>2</sub>)<sub>z</sub>-COOR34 group, a -(CH<sub>2</sub>)<sub>z</sub> -SO<sub>3</sub>R34 group, a -(CH<sub>2</sub>)<sub>Z</sub> -N<sup>+</sup>R35R36R37 group which has 1 or 2 hydrogen atoms of the -(CH<sub>2</sub>)<sub>Z</sub> units that may be replaced by OR32 groups, a -CR38R39-COOR40 group, or a -CR38R39NR41R42 group; where is 2, 3, 4, 5, 6, 7 or 8; а is 2, 3, 4, 5, 6, 7 or 8; Х R32 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH2CH3, COCF3 or COCH2CF3; R33 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>; 15 b is 3, 4, 5, 6 or 7; is 2, 3, 4, 5, 6 or 7; У is 3, 4, 5, 6, 7 or 8; С Z is 1 or 2; R34, R35, R36 and R37 20 are each independently H, CH3, CH2CH3, CF3 or CH2CF3;

R38 is  $-(CH_2)_n - Y$ ; where

is 0, 1, 2, 3 or 4; n

Υ is H, or alkyl which has 1, 2, 3 or 4 carbon atoms with none, some or all of which being fluorinated and further has one or more CH2 groups that may be replaced by O, S or NR43, or Y is COOR44, CONR45R46, NHC(NH)NH2, phenyl or heteroaryl, where said phenyl or heteroaryl may be substituted by up to 3 substituents independently selected from the group consisting of CH3, CF3, OH, OCH3 and NH<sub>2</sub>; where

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R43, R44, R45 and R46

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>,

CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R39 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R40 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R41 and R42

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>,

CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or

COCH2CF3;

- provided that at least one of the R7, R8 or R9 radicals is a –L-G group,
  - 4. A compound of claim 3, or a pharmaceutically acceptable salt or trifluoroacetates salt of said compound, wherein

R1, R2, R3 and R4,

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are each independently H, F, Cl, Br, CN, NO<sub>2</sub>, OH, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>,

CH<sub>2</sub>CF<sub>3</sub>, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>CF<sub>3</sub>, SO<sub>2</sub>R10, NR14R15,

CONR16R17, COOR18 or OCOR18; where

R10 is CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OH or NR11R12; where

R11 and R12

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>,

COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>;

R14 and R15

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>,

COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>;

25 R16 and R17

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R18 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

R5 is CH<sub>3</sub>;

R6 is H;

30 R7, R8 and R9

are each independently H, F, Cl, Br, I, OH, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub>, OCF<sub>3</sub>, OCH<sub>2</sub>CF<sub>3</sub>, SO<sub>2</sub>R47, SO<sub>3</sub>R60, COR47, COOR60, NR51R52 or a –L-G group; where

R47 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub> or NR48R49; where

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are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>,

or R48 and R49

R48 and R49

are, together with the nitrogen atom which bonds them, part of a 5- or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

R60 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, or CH<sub>2</sub>CF<sub>3</sub>:

R51 and R52

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>

or R51 and R52

are, together with the nitrogen atom which bonds them, part of a 5-or 6-membered ring which is of a type selected from the group consisting of 1-pyrrolyl, 1-piperidinyl, 1-piperazinyl, 1-N-methylpiperazinyl and 4-morpholinyl;

 $\label{eq:local_$ 

R30 and R31

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;

is a  $C_a(OR32)_xH_{2a+1-x}$  group which has one or more  $CH_2$  groups that may be replaced by O or NR33, a  $C_b(OR32)_yH_{2b-1-y}$  group which has one or more  $CH_2$  groups that may be replaced by O or NR33, a  $C_cH_{2c+1}$  group which has two or more  $CH_2$  groups that are replaced by O or NR33, a  $-(CH_2)_z-COOR34$  group, a  $-(CH_2)_z-COOR34$  group.

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SO<sub>3</sub>R34 group, a -(CH<sub>2</sub>)<sub>Z</sub> -N<sup>+</sup>R35R36R37 group which has 1 or 2
hydrogen atoms of the -(CH<sub>2</sub>)<sub>7</sub> units that may be replaced by
OR32 groups, a -CR38R39-COOR40 group or a -
CR38R39NR41R42 group; where
                is 2, 3, 4, 5, 6, 7 or 8;
                is 2, 3, 4, 5, 6, 7 or 8;
        Х
        R32 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>,
                COCH2CH3, COCF3 or COCH2CF3;
        R33 is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;
                is 3, 4, 5, 6 or 7;
                is 2, 3, 4, 5, 6 or 7;
        У
        С
                is 3, 4, 5, 6, 7 or 8;
        Z
                is 1 or 2;
        R34, R35, R36 and R37
                are each independently H, CH3, CH2CH3, CF3 or
              CH2CF3;
        R38 is -(CH_2)_n - Y; where
                0, 1, 2, 3 or 4;
        n
        Υ
                is H, or alkyl which has 1, 2, 3 or 4 carbon atoms with
                none, some or all of the carbon atoms being
                fluorinated and further has one or more CH2 groups
                that may be replaced by O, S or NR43, or Y is
                COOR44, CONR45R46, NHC(NH)NH2, phenyl or
                heteroaryl, where said phenyl or heteroaryl may be
                substituted by up to 3 substituents selected from the
                group consisting of CH<sub>3</sub>, CF<sub>3</sub>, OH, OCH<sub>3</sub> and NH<sub>2</sub>;
                where
                R43, R44, R45 and R46
                        are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>,
                        CF3 or CH2CF3,
        R39
                is H:
        R40
               is H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub> or CH<sub>2</sub>CF<sub>3</sub>;
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R41 and R42

are each independently H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, COCH<sub>3</sub>, COCH<sub>2</sub>CH<sub>3</sub>, COCF<sub>3</sub> or COCH<sub>2</sub>CF<sub>3</sub>;

provided that at least one of the R7, R8 or R9 radicals is a -L-G group.

- 5. A compound of claim 1, or a pharmaceutically acceptable salt or trifluoroacetates salt of said compound, which is selected from the group consisting of N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2,3,4,5,6-pentahydroxyhexanamide,
- N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2,3,4,5,6-pentahydroxyhexanamide,
  - N-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2,3,4,5,6-pentahydroxyhexanamide,
- N-[3-((S)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2,3,4,5,6-pentahydroxyhexanamide,
  - N-[3-((R)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2,3,4,5,6-pentahydroxyhexanamide,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2-hydroxy-1-hydroxymethylethyl)urea,
- 20 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2-hydroxy-1,1-bishydroxymethylethyl)urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2,3,4,5,6-pentahydroxyhexyl)urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2,4,5-
- 25 trihydroxy-6-hydroxymethyltetrahydropyran-3-yl)urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-sulfo-2-ethyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(ethyl-2-trimethylammonium)}urea chloride,
- 30 {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'(1-carboxy-3-hydroxy-2-propyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-carboxy-4-aminocarboxy-2-butyl)}urea,

- 3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2,3,4,5,6-pentahydroxyhexyl)benzamide,
- 3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2-hydroxy-1-hydroxymethylethyl)benzamide,
- 5 2-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-3-hydroxypropionic acid,
  - 2-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]succinic acid,
  - 2-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-4-
- 10 succinamic acid,
  - N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-[1-carboxy-5-guanidino-2-pentyl]urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-carboxy-4-aminocarboxy-2-butyl)}urea,
- 15 {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-carboxy-3-hydroxy-2-propyl)}urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2-hydroxy-1,1-bishydroxymethylethyl)urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2,3,4,5,6-
- 20 pentahydroxyhexyl)urea,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis(2-hydroxy-1-hydroxymethylethyl)isophthalamide,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis(2-hydroxy-1,1-bishydroxymethylethyl)isophthalamide,
- 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-bis(2-hydroxy-1,1-bishydroxymethylethyl)isophthalamide,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis(2,3,4,5,6-pentahydroxyhexyl)isophthalamide,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2,3,4,5,6-
- 30 pentahydroxyhexyl)isophthalamide,
  - 2-[3-(1-carboxy-2-hydroxyethylcarbamoyl)-5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-3-hydroxypropionic acid,
  - N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2-amino-5-guanidinopentanamide,

- N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2-amino-5-guanidinopentanamide,
- 2-amino-N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(1H-imidazol-4-yl)propionamide,
- 5 2-amino-N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(1H-imidazol-4-yl)propionamide,
  - ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}-acetate,
- ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}10 acetate,
  - ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}-acetate,
  - {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,
- 15 {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,
  - {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,

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- ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetate,
  - ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}-acetate,
- ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate.
    - {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,
    - {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic acid,

- {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,
- {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic acid,
- 5 {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,
  - {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic acid,
- 2-methoxyethyl [4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]10 carbamate,
  - 2-methoxyethyl [4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]-carbamate,
  - 2-methoxyethyl [3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]-carbamate,
- 15 and
  - 2-methoxyethyl [3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]-carbamate.
- 6. A compound of claim 5, or a pharmaceutically acceptable salt or trifluoroacetates
- salt of said compound, which is selected from the group consisting of N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-(2R,3S,4R,5R)-2,3,4,5,6-pentahydroxyhexanamide,
  - N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-(2R,3S,4R,5R)-2,3,4,5,6-pentahydroxyhexanamide,
- N-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-(2R,3S,4R,5R)-2,3,4,5,6-pentahydroxyhexanamide,
  - N-[3-((S)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-(2R,3S,4R,5R)-2,3,4,5,6-pentahydroxyhexanamide,
  - N-[3-((R)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-
- 30 (2R,3S,4R,5R)-2,3,4,5,6-pentahydroxyhexanamide,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2-hydroxy-1-hydroxymethylethyl)urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(2-hydroxy-1,1-bishydroxymethylethyl)urea,

- 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-
- ((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)urea,
- 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-((4R,5S,6R)-
- 2,4,5-trihydroxy-6-hydroxymethyltetrahydropyran-3-yl)urea,
- 5 {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-sulfo-2-ethyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(ethyl-2-trimethylammonium)}urea chloride,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-carboxy-3-
- 10 hydroxy-2S-propyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-(1-carboxy-4-aminocarboxy-2S-butyl)}urea,
  - 3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)benzamide,
- 15 3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2-hydroxy-1-hydroxymethylethyl)benzamide,
  - 2-(S)-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-3-hydroxypropionic acid,
  - 2-(S)-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-
- 20 yl)benzoylamino]succinic acid,
  - 2-(S)-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-4-succinamic acid.
  - N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-N'-[1-carboxy-5-guanidino-2S-pentyl]urea,
- 25 {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(R)-yl)phenyl]-N'-(1-carboxy-4-aminocarboxy-2S-butyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(S)-yl)phenyl]-N'-(1-carboxy-4-aminocarboxy-2S-butyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(R)-yl)phenyl]-N'-(1-
- 30 carboxy-3-hydroxy-2S-propyl)}urea,
  - {N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(S)-yl)phenyl]-N'-(1-carboxy-3-hydroxy-2S-propyl)}urea,
  - 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(R)-yl)phenyl]-3-(2-hydroxy-1,1-bishydroxymethylethyl)urea,

- 1-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-(S)-yl)phenyl]-3-(2-hydroxy-1,1-bishydroxymethylethyl)urea,
- 1-[3-((R)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)urea,
- 5 1-[3-((S)-6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)urea, 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis(2-hydroxy-1
  - b-(6,8-dichloro-2-methyl-1,2,3,4-tetranydroisoquinolin-4-yl)-N,N'-bis(2-nydroxy-1-hydroxymethylethyl)isophthalamide,
- 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis(2-hydroxy-1,1-bishydroxymethylethyl)isophthalamide,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2-hydroxy-1,1-bishydroxymethylethyl)isophthalamide,
  - 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N'-bis((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)isophthalamide,
- 5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-((2S,3R,4R,5R)-2,3,4,5,6-pentahydroxyhexyl)isophthalamide,
  - (S)-2-[3-((S)-1-carboxy-2-hydroxyethylcarbamoyl)-5-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)benzoylamino]-3-hydroxypropionic acid,
  - (S)-N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2-amino-5-quanidinopentanamide,
  - (S)-N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-2-amino-5-guanidinopentanamide,
  - (S)-2-amino-N-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3-(1H-imidazol-4-yl)propionamide,
- 25 (S)-2-amino-N-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]-3- (1H-imidazol-4-yl)propionamide,
  - ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}-acetate,
  - ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}-acetate,
    - ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}-acetate,
    - {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,

- {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,
- {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]ureido}acetic acid,
- 5 ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate,
  - ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}-acetate,
- ethyl {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}-acetate,
  - {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,

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- {3-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic
- 20 acid,

- {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,
- {3-[2-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic acid,
- 25 {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]ureido}acetic acid,
  - {3-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]ureido}acetic acid,
- 2-methoxyethyl [4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]-30 carbamate,
  - 2-methoxyethyl [4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]-carbamate,
  - 2-methoxyethyl [3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(R)-yl)phenyl]-carbamate,
- 35 and

2-methoxyethyl [3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4(S)-yl)phenyl]-carbamate.

- 7. A medicament comprising a compound of formula I according to claim 1 or a pharmaceutically acceptable salt of said compound.
  - 8. A method of treatment or prophylaxis, by administering to a mammal a medicament comprising a compound of claim 1, 5 or 6, or a pharmaceutically acceptable salt of said compound in a pharmaceutically acceptable formulation, for disorders of respiratory drive, respiratory disorders, sleep-related respiratory disorders, sleep apneas, snoring, of acute and chronic renal disorders, acute renal failure and of chronic renal failure, disorders of intestinal function, high blood pressure, essential hypertension, disorders of the central nervous system, disorders resulting from CNS overexcitability, epilepsy and centrally induced convulsions or of anxiety states, depressions and psychoses, ischemic states of the peripheral or central nervous system and of stroke, acute and chronic damage to and disorders of peripheral organs or limbs caused by ischemic or by reperfusion events, atherosclerosis, disorders of lipid metabolism, thromboses, disorders of biliary function, infestation by ectoparasites, disorders resulting from endothelial dysfunction, protozoal disorders, malaria, for the preservation and storage of transplants for surgical procedures, for use in surgical operations and organ transplantations or for the treatment of states of shock or of diabetes and late damage from diabetes or of diseases in which cellular proliferation represents a primary or secondary cause, and for maintaining health and prolonging life.

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- 9. A method of claim 8, wherein said medicament further comprises another medicament or active ingredient.
- 10. A method of claim 8, wherein said method is for the treatment or prophylaxis of30 disorders of respiratory drive and/or of sleep-related respiratory disorders such as sleep apneas.
  - 11. A method of claim 8, wherein said method is for the treatment or prophylaxis of snoring.

- 12. A method of claim 8, wherein said method is for the treatment or prophylaxis of acute or chronic renal disorders, of acute renal failure and of chronic renal failure.
- 13. A method of claim 8, wherein said method is for the treatment or prophylaxis of disorders of intestinal function.
  - 14. A pharmaceutical composition for human, veterinary or phytoprotective use comprising an effective amount of a compound of claim 1, or a pharmaceutically acceptable salt of said compound, or both.
  - 15. A pharmaceutical composition of claim 14, further comprising other pharmacological active ingredients or medicaments.